

Applicant : Paul B. Savage et al.  
Serial No. : 09/930,316  
Filed : August 15, 2001  
Page : 2 of 16

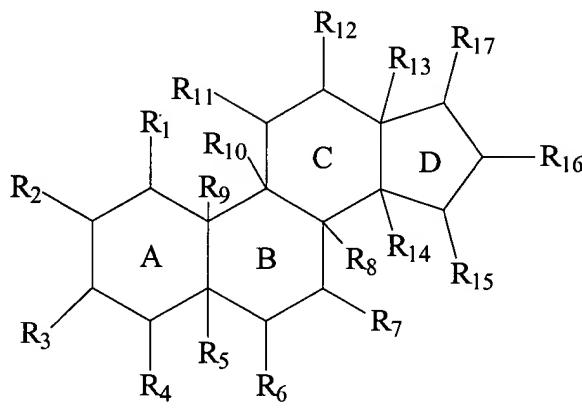
Attorney's Docket No.: 07913-006001

Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Previously Presented) A compound according to formula I



wherein:

fused rings A, B, C, and D are independently saturated or fully or partially unsaturated;  
and

R<sub>1</sub> through R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> is each independently selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, a substituted or unsubstituted arylamino-(C1-C10) alkyl, (C1-C10) haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, oxo, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxamido, H<sub>2</sub>N-HC(Q5)-C(O)-O-, H<sub>2</sub>N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyl oxy, (C1-C10) quaternaryammoniumalkylcarboxy, and (C1-C10) guanidinoalkyl carboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group, and

R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub> is each independently:

deleted when one of fused rings A, B, C, or D is unsaturated so as to complete the valency of the carbon atom at that site, or

selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, C1-C10 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group, and

provided that at least two of R<sub>1</sub> through R<sub>14</sub> are independently selected from the group consisting of a substituted or unsubstituted (C1-C10) aminoalkyloxy, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted arylamino- (C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, (C1-C10) quaternaryammonium alkylcarboxy, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy, and further provided that R<sup>12</sup> cannot be hydrogen when all of the fused rings A, B, C, and D are saturated; or a pharmaceutically acceptable salt thereof.

2. (Cancelled)

3. (Original) The compound of claim 1, wherein at least three of R<sub>1</sub> through R<sub>14</sub> are independently selected from the group consisting of a substituted or unsubstituted (C1-C10) aminoalkyloxy, (C1-C10) alkylcarboxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkyl, a

substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxamido, a substituted or unsubstituted arylamino- (C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyloxy -(C1-C10) alkyl, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, (C1-C10) quaternaryammoniumalkylcarboxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy.

Claims 4-6 are cancelled.

7. (Original) The compound of claim 1, wherein none of R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>13</sub>, and R<sub>14</sub> is deleted.

8. (Original) The compound of claim 1, wherein each of R<sub>3</sub>, R<sub>7</sub>, and R<sub>12</sub> is independently selected from the group consisting of a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxamido, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkylcarboxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group or a pharmaceutically acceptable salt thereof.

9. (Original) The compound of claim 8, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>8</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, and R<sub>16</sub> are hydrogen.

10. (Original) The compound of claim 9, wherein R<sub>17</sub> is -CR<sub>18</sub>R<sub>19</sub>R<sub>20</sub>, where each of R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub>, is independently selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted

aryl, (C1-C10) haloalkyl, (C2-C6) alkenyl, (C2-C6) alkynyl, oxo, and a linking group attached to a second steroid.

11. (Original) The compound of claim 8, wherein each of R<sub>3</sub>, R<sub>7</sub>, and R<sub>12</sub>, is independently selected from the group consisting of -O-(CH<sub>2</sub>)<sub>n</sub>-NH<sub>2</sub>, -O-CO-(CH<sub>2</sub>)<sub>n</sub>-NH<sub>2</sub>, -O-(CH<sub>2</sub>)<sub>n</sub>-NH-C(NH)-NH<sub>2</sub>, -O-(CH<sub>2</sub>)<sub>n</sub>-N<sub>3</sub>, -O-(CH<sub>2</sub>)<sub>n</sub>-CN, where n is 1 to 3, and -O-C(O)-HC(Q<sub>5</sub>)-NH<sub>2</sub>, where Q<sub>5</sub> is a side chain of any amino acid.

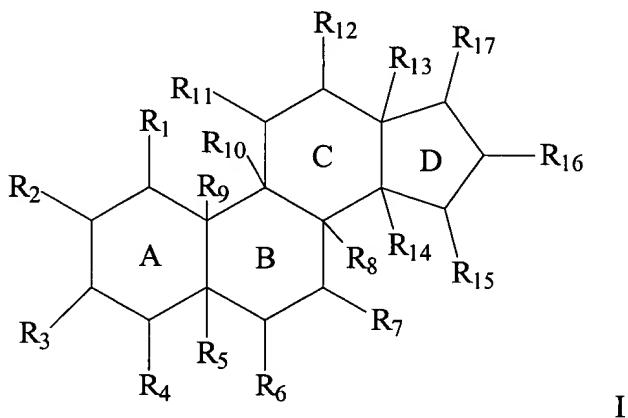
12. (Original) The compound of claim 8, wherein each of R<sub>3</sub>, R<sub>7</sub>, and R<sub>12</sub>, is -O-CO-(CH<sub>2</sub>)<sub>n</sub>-NH<sub>2</sub>, where n is 1 to 4.

13. (Cancelled)

14. (Original) The compound of claim 12, wherein R<sup>17</sup> is -CH(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>n</sub>-NR<sup>1</sup>R<sup>2</sup>, wherein n is 0-2, R<sup>1</sup> and R<sup>2</sup> are independently (C1-C6) alkyl, aryl or aralkyl.

Claims 15-23 are cancelled.

24. (Previously Presented) A pharmaceutical composition comprising an effective amount of a compound of formula (I):



wherein:

fused rings A, B, C, and D are independently saturated or fully or partially unsaturated; and

R<sub>1</sub> through R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, R<sub>16</sub>, and R<sub>17</sub> is each independently selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, (C<sub>1</sub>-C<sub>10</sub>) hydroxyalkyl, (C<sub>1</sub>-C<sub>10</sub>) alkyloxy-(C<sub>1</sub>-C<sub>10</sub>) alkyl, (C<sub>1</sub>-C<sub>10</sub>) alkylcarboxy-(C<sub>1</sub>-C<sub>10</sub>) alkyl, (C<sub>1</sub>-C<sub>10</sub>) alkylamino-(C<sub>1</sub>-C<sub>10</sub>) alkyl, (C<sub>1</sub>-C<sub>10</sub>) alkylamino- (C<sub>1</sub>-C<sub>10</sub>) alkylamino, (C<sub>1</sub>-C<sub>10</sub>) alkylamino- (C<sub>1</sub>-C<sub>10</sub>) alkylamino- (C<sub>1</sub>-C<sub>10</sub>) alkylamino, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkyl, a substituted or unsubstituted aryl, a substituted or unsubstituted arylamino-(C<sub>1</sub>-C<sub>10</sub>) alkyl, (C<sub>1</sub>-C<sub>10</sub>) haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, oxo, a linking group attached to a second steroid, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkyloxy, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkyloxy-(C<sub>1</sub>-C<sub>10</sub>) alkyl, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkylcarboxy, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkylaminocarbonyl, a substituted or unsubstituted (C<sub>1</sub>-C<sub>10</sub>) aminoalkylcarboxamido, H<sub>2</sub>N-HC(Q<sub>5</sub>)-C(O)-O-, H<sub>2</sub>N-HC(Q<sub>5</sub>)-C(O)-N(H)-, (C<sub>1</sub>-C<sub>10</sub>) azidoalkyloxy, (C<sub>1</sub>-C<sub>10</sub>) cyanoalkyloxy, P.G.-HN-HC(Q<sub>5</sub>)-C(O)-O-, (C<sub>1</sub>-C<sub>10</sub>) guanidinoalkyl oxy, (C<sub>1</sub>-C<sub>10</sub>) quaternaryammoniumalkylcarboxy, and (C<sub>1</sub>-C<sub>10</sub>) guanidinoalkyl carboxy, where Q<sub>5</sub> is a side chain of any amino acid, P.G. is an amino protecting group, and

R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub> is each independently:

deleted when one of fused rings A, B, C, or D is unsaturated so as to complete the valency of the carbon atom at that site, or

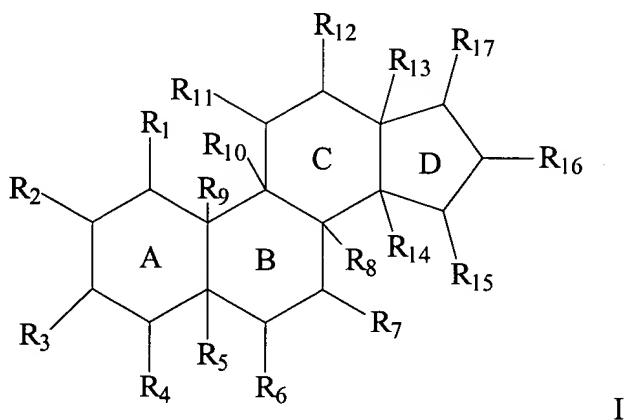
selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, C1-C10 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group, and

provided that at least two of R<sub>1</sub> through R<sub>14</sub> are independently selected from the group consisting of a substituted or unsubstituted (C1-C10) aminoalkyloxy, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted arylamino- (C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, (C1-C10) quaternaryammonium alkylcarboxy, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy; or a pharmaceutically acceptable salt thereof.

25. (Original) The pharmaceutical composition of claim 24, wherein the composition includes additional antibiotics.

Claims 26-52 are cancelled.

53. (Original) A compound according to formula I



wherein:

fused rings A, B, C, and D are independently saturated or fully or partially unsaturated;  
and

R<sub>1</sub> through R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>15</sub>, and R<sub>16</sub>, is each independently selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, a substituted or unsubstituted arylamino-(C1-C10) alkyl, (C1-C10) haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, oxo, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxamido, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyl oxy, (C1-C10)

quaternaryammoniumalkylcarboxy, and (C1-C10) guanidinoalkyl carboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group, and

R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>13</sub>, and R<sub>14</sub> is each independently:

deleted when one of fused rings A, B, C, or D is unsaturated so as to complete the valency of the carbon atom at that site, or

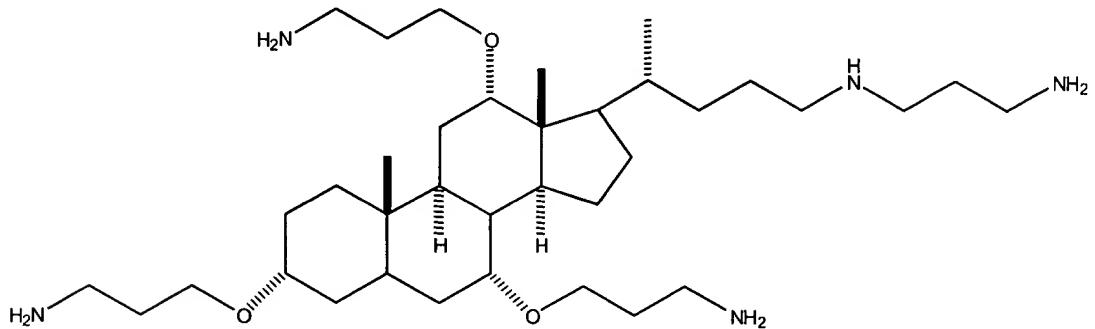
selected from the group consisting of hydrogen, hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, C1-C10 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group, and

R<sub>17</sub> is selected from the group consisting of substituted or unsubstituted alkylcarboxyalkyl and protected or unprotected poly(aminoalkyl),

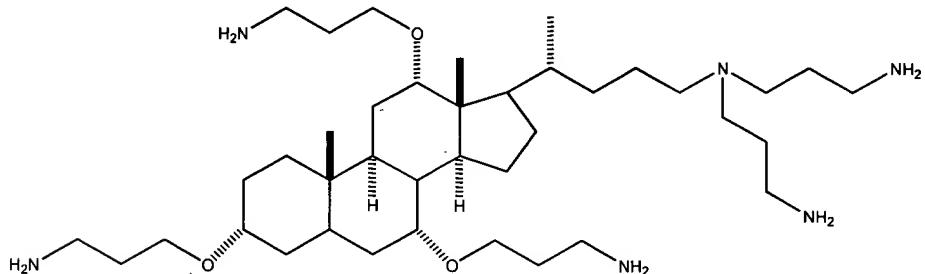
provided that at least two of R<sub>1</sub> through R<sub>14</sub> are independently selected from the group consisting of a substituted or unsubstituted (C1-C10) aminoalkyloxy, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted arylamino- (C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkyloxy -(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, (C1-C10) quaternaryammonium alkylcarboxy, H2N-HC(Q5)-C(O)-O-, H2N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyloxy, and (C1-C10) guanidinoalkylcarboxy; or a pharmaceutically acceptable salt thereof.

Claims 54 and 55 are cancelled.

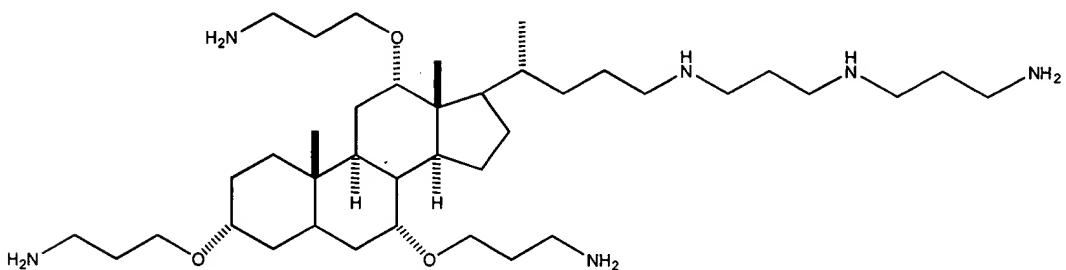
56. (Original) The compound of claim 53, wherein the compound has the formula:



57. (Original) The compound of claim 53, wherein the compound has the formula:



58. (Original) The compound of claim 53, wherein the compound has the formula:



59. (Previously Presented) The compound of claim 1, wherein R<sub>12</sub> is selected from the group consisting of hydroxyl, a substituted or unsubstituted (C1-C10) alkyl, (C1-C10) hydroxyalkyl, (C1-C10) alkyloxy-(C1-C10) alkyl, (C1-C10) alkylcarboxy-(C1-C10) alkyl, (C1-C10) alkylamino-(C1-C10) alkyl, (C1-C10) alkylamino- (C1-C10) alkylamino, (C1-C10) alkylamino- (C1-C10) alkylamino- (C1-C10) alkylamino, a substituted or unsubstituted (C1-C10) aminoalkyl, a substituted or unsubstituted aryl, a substituted or unsubstituted arylamino-(C1-C10) alkyl, (C1-C10) haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, oxo, a linking group attached to a second steroid, a substituted or unsubstituted (C1-C10) aminoalkyloxy, a substituted or unsubstituted (C1-C10) aminoalkyloxy-(C1-C10) alkyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxy, a substituted or unsubstituted (C1-C10) aminoalkylaminocarbonyl, a substituted or unsubstituted (C1-C10) aminoalkylcarboxamido, H<sub>2</sub>N-HC(Q5)-C(O)-O-, H<sub>2</sub>N-HC(Q5)-C(O)-N(H)-, (C1-C10) azidoalkyloxy, (C1-C10) cyanoalkyloxy, P.G.-HN-HC(Q5)-C(O)-O-, (C1-C10) guanidinoalkyl oxy, (C1-C10) quaternaryammoniumalkylcarboxy, and (C1-C10) guanidinoalkyl carboxy, where Q5 is a side chain of any amino acid, P.G. is an amino protecting group.